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549786 RADIATION

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L3 ANSWER 1 OF 27 CA COPYRIGHT 2002 ACS

AN 136:334128 CA

TI Texaphyrins: synthesis and development of a novel class of therapeutic agents

AU Mody, Tarak D.; Fu, Lei; ***Sessler, Jonathan L.***

CS Pharmacyclics, Inc., Sunnyvale, CA, USA

SO Progress in Inorganic Chemistry (2001), 49, 551-598 CODEN: PIOCAR; ISSN: 0079-6379

PB John Wiley & Sons, Inc.

DT Journal; General Review

LA English

RE.CNT 161 THERE ARE 161 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

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- E1 1 DARRELL VAN CAMPEN/AU
- E2 1 DARRELMANN K GR/AU
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- E4 1 DARREN STUART J/AU
- E5 1 DARRES MICHEL/AU
- E6 1 DARRET DANIELE/AU
- E7 1 DARRET DANIELLE/AU
- E8 1 DARRET GEORGES/AU
- E9 1 DARREYE ANGELINA/AU
- E10 1 DARRIBERE C/AU
- E11 4 DARRIBERE CYRIL/AU
- E12 6 DARRIBERE T/AU

L3 ANSWER 1 OF 27 CA COPYRIGHT 2002 ACS

AN 136:334128 CA

TI Texaphyrins: synthesis and development of a novel class of therapeutic agents

AU Mody, Tarak D.; Fu, Lei; ***Sessler, Jonathan L.***

CS Pharmacyclics, Inc., Sunnyvale, CA, USA

SO Progress in Inorganic Chemistry (2001), 49, 551-598 CODEN: PIOCAR; ISSN: 0079-6379

PB John Wiley & Sons, Inc.

DT Journal; General Review

LA English

AB A review of texaphyrin prepn., metallotexaphyrin chem., their use as PDT agents and their use as MRI detectable ***radiation*** enhancers.

RE.CNT 161 THERE ARE 161 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 27 CA COPYRIGHT 2002 ACS

AN 135:285062 CA

TI Probing the reactivity of the ***radiation*** sensitizer motexafin gadolinium (Xcytrin) and a series of lanthanide(III) analogues in the presence of both hydroxyl radicals and aqueous electrons

AU ***Sessler, Jonathan L.***; Tvermoes, Nicolai A.; Guldi, Dirk M.; Mody, Tarak D.

CS Department of Chemistry and Biochemistry, University of Texas at Austin, Austin, TX, 78712, USA

SO Journal of Porphyrins and Phthalocyanines (2001), 5(7), 593-599 CODEN: JPPHFZ; ISSN: 1088-4246

PB John Wiley & Sons Ltd.

DT Journal

LA English

AB The competition of the ***radiation*** sensitizer motexafin gadolinium (Xcytrin, gadolinium(III) texaphyrin) and several other water-sol. metallotexaphyrin complexes with N,N,N',N'-tetramethyl-p-phenylenediamine (TMPD) for solvated electrons and hydroxyl radicals was studied using pulse radiolysis and by steady-state .gamma.-radiolysis. It was found that the one-electron reduced forms (M-Tex.bul.+) of the Gd(III), Eu(III), Dy(III), Yb(III), and Cd(II) texaphyrin complexes, after an initial reaction with hydrated electrons, do not compete with TMPD for hydroxyl radicals formed under pulse radiolytic conditions. By contrast, the reduced Y(III), In(III), Tm(III), and Lu(III) texaphyrin complexes do. These differences in competitive reactivity toward OH are rationalized in terms of the relative rates of protonation of the various singly reduced

texaphyrins. In the case of Gd-Tex2+ in particular, the one-electron reduced product, Gd-Tex.bul.+, protonates rapidly, producing a redox-inactive species that does not react appreciably with OH. By contrast, the one-electron reduced product from, e.g., Lu-Tex2+ (motexafin lutetium), does. These results may explain, at least in part, why the Gd(III) texaphyrin functions as a ***radiation*** sensitizer in vivo, while the analogous Lu(III) complex does not.

RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 27 CA COPYRIGHT 2002 ACS

AN 134:357565 CA

TI Methods and compositions for treating atheroma, tumors and other neoplastic tissue

IN ***Sessler, Jonathan L.***; Madga, Darren

PA Pharmacyclics, Inc., USA; The University of Texas System

SO PCT Int. Appl., 74 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE

APPLICATION NO. DATE

PI WO 2001032210 A2 20010510 WO 2000-US29515 20001027 WO 2001032210 A3 20020207

DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI US 1999-430505 A2 19991029

AB The ***radiation*** sensitization potential of a candidate compd. can be screened by detg. its ability to generate one or more reactive oxygen species under appropriate conditions. Compds. detd. to have ***radiation*** sensitization potential are employed in methods for treating atheroma, tumors and other neoplastic tissue as well as other conditions that are typically responsive to ***radiation*** sensitization. Cytotoxic effect of gadolinium complex of texaphyrin and ionizing ***radiation***, with and without L-buthionine sulfoximine

cultured MES-SA human uterine cells was studied. A tablet contained active ingredients 25.0, microcryst. cellulose 200.0, colloidal silicone dioxide 10.0, and stearic acid 5.0 mg.

L3 ANSWER 4 OF 27 CA COPYRIGHT 2002 ACS

AN 134:277412 CA

TI Texaphyrins: a new approach to drug development

AU Mody, Tarak D.; ***Sessler, Jonathan L.***

CS Pharmacyclics, Inc., Sunnyvale, CA, 94085, USA

SO Journal of Porphyrins and Phthalocyanines (2001), 5(2), 134-142 CODEN: JPPHFZ; ISSN: 1088-4246

PB John Wiley & Sons Ltd.

DT Journal; General Review

LA English

AB A review with 88 refs. The texaphyrins are prototypical metal-coordinating expanded porphyrins. They represent a burgeoning class of pharmacol. agents that show promise for an array of medical applications. Currently, two different water-sol. lanthanide texaphyrins, namely motexafin gadolinium (Gd-Tex, 1) and motexafin lutetium (Lu-Tex, 2), are involved in multi-center clin. trials for a variety of indications. The first of these agents, XCYTRIN (motexafin gadolinium) injection, is being evaluated as a potential X-ray ***radiation*** enhancer in a randomized Phase III clin. trial in patients with brain metastases. The second, in various formulations, is being evaluated as a photosensitizer for use in: (i) the photodynamic treatment of recurrent breast cancer (LUTRIN Injection; now in Phase IIb clin. trials); (ii) photoangioplastic redn. of atherosclerosis involving peripheral and coronary arteries (ANTRIN Injection; now in Phase II and Phase I clin. trials, resp.); and (iii) light-based age-related macular degeneration (OPTRIN Injection; currently under Phase II clin. evaluation), a vision-threatening disease of the retina. In this article, these developments, along with fundamental aspects of the underlying chem. are reviewed.

RE.CNT 88 THERE ARE 88 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 27 CA COPYRIGHT 2002 ACS

AN 134:233649 CA

TI Pulse Radiolytic Studies of Metallotexaphyrins in the Presence of Oxygen: Relevance of the Equilibrium with Superoxide Anion to the Mechanism of Action of the ***Radiation*** Sensitizer Motexafin Gadolinium (Gd-Tex2+, Xcytrin)

AU ***Sessler, Jonathan L.***; Tvermoes, Nicolai A.; Guldi, Dirk M.; Hug,

Gordon L.; Mody, Tarak D.; Magda, Darren

CS Department of Chemistry and Biochemistry, University of Texas, Austin, TX, 78712, USA

SO Journal of Physical Chemistry B (2001), 105(7), 1452-1457 CODEN: JPCBFK; ISSN: 1089-5647

PB American Chemical Society

DT Journal

LA English

AB Pulse radiolytic studies of aq. solns. of 4 representative metallotexaphyrin complexes M-Tex2+ (M = Gd(III), Lu(III), Dy(III), and Y(III)), carried out in the presence of either dioxygen, or trimethyl-p-benzoquinone (TMQ). All 4 of these M-Tex2+ species set up an equil. with superoxide and the singly reduced TMQ (TMQ.bul.-) on the pulse radiolytic time scale. Rate consts. for the forward (k1) and back (k-1) reactions of Gd-Tex2+ with superoxide anions, at pH 8.5, were detd. to be 9.8 .times. 106 M-1 s-1 and 3.4 .times. 106 M-1 s-1, resp. For reaction with TMQ.bul.-, the analogous rate consts. were found to be 1.5 .times. 107 M-1 s-1 and 3.7 .times. 106 M-1 s-1, resp. Equil. consts. (Kkin), calcd. from these kinetic parameters, were 2.9 and 4.1 for Gd-Tex2+ reacting with O2.bul.- (to produce Gd-Tex.bul.+ and O2) and TMQ.bul.- (to produce Gd-Tex.bul.+ and TMQ), resp. Equil. consts. for the M-Tex2+ species reacting with O2.bul.- and TMQ.bul.- were also detd. from anal. of the absorption following establishment of the equil. The resulting values for Gd-Tex2+ were found to be 6.8 and 10.7, resp. From these equil. consts., the redox potential for the M-Tex2+/M-Tex.bul.+ couples at pH 8.5 were estd. to be ca. -110 mV vs NHE in the case of Gd-Tex2+.

RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 27 CA COPYRIGHT 2002 ACS

AN 133:234470 CA

TI Expanded porphyrins. Synthetic materials with potential medical utility

AU ***Sessler, Jonathan L.***; Tvermoes, Nicolai A.; Davis, Julian; Anzenbacher, Pavel, Jr.; Jursikov, Karolina; Sato, Wataru; Seidel, Daniel; Lynch, Vincent; Black, Chris B.; Try, Andrew; Andrioletti, Bruno; Hemmi, Greg; Mody, Tarak D.; Magda, Darren J.; Kral, Vladimir

CS Dep. Chem. & Biochem., Inst. Cellular Mol. Biol., The Univ. Texas at Austin, Austin, TX, 78712, USA

SO Pure and Applied Chemistry (1999), 71(11), 2009-2018 CODEN: PACHAS; ISSN: 0033-4545

PB Blackwell Science Ltd.

DT Journal; General Review

LA English

AB A review with 40 refs. A no. of arom. and nonarom. expanded porphyrins have been prepd. in the authors' labs. These are allowing a no. of important themes to be explored, including the construction of novel cation- and anion-complexing agents and the generations of drug candidates with considerable therapeutic potential. In this paper, the use of gadolinium (III) and lutetium (III) texaphyrin derivs. as, resp., adjuvants for X-ray ***radiation*** cancer therapy and photosensitizers for use in photodynamic treatments of cancer, atheromatous plaque, and age-related macular degeneration will be reviewed. Also discussed are the use of water sol. sapphyrins as potential fluorescent phosphate sensors and org. sol. 2,3-dipyrrylquinoxaline derivs. as possible fluoride anion signaling agents. Recent synthetic work, designed to produce expanded porphyrins with new shapes and novel topologies, is also summarized.

RE.CNT 40 THERE ARE 40 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 27 CA COPYRIGHT 2002 ACS

AN 132:248011 CA

TI Texaphyrins. New drugs with diverse clinical applications in ***radiation*** and photodynamic therapy

AU ***Sessler, J. L.***; Miller, R. A.

CS Department of Chemistry & Biochemistry, University of Texas, Austin, TX, USA

SO Biochemical Pharmacology (2000), 59(7), 733-739 CODEN: BCPCA6; ISSN: 0006-2952

PB Elsevier Science Inc.

DT Journal; General Review

LA English

AB A review with 42 refs. The texaphyrins are quintessential metal-coordinating expanded porphyrins constitute a new series of synthetic porphyrin analogs that show promise as drugs for use in a range of medical therapies. Currently, two different water-solubilized lanthanide(III) texaphyrin complexes, namely the gadolinium(III) and lutetium(III) derivs. 1 and 2 (Gd-Tex and Lu-Tex, resp.), are being tested clin. The first of these, XCYTRIN, is in a pivotal Phase III clin. trial as a potential enhancer of ***radiation*** therapy for patients with metastatic cancers to the brain receiving whole brain ***radiation*** therapy. The second, in various formulations, is being tested as a photosensitizer for use in: (i) the photodynamic treatment of recurrent breast cancer LUTRIN; Phase II clin. trials complete, (ii) photoangioplastic redn. of atherosclerosis involving peripheral arteries ANTRIN; now in Phase II testing, and (iii) light-based treatment of

age-related macular degeneration OPTRIN; currently in Phase I clin. trials, a vision-threatening disease of the retina. Taken in concert, these two metallotexaphyrins provide a powerful new class of exptl. drugs whose diverse potential utility is abetted by a combination of well-optimized phys. features, favorable tissue biolocalization characteristics, and novel mechanisms of action. Interestingly, these mechanisms may alter conventional wisdom regarding mechanisms of ***radiation*** therapy and the pathophysiol. of atherosclerosis.

RE.CNT 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 27 CA COPYRIGHT 2002 ACS

AN 132:233602 CA

TI Porphyrin- and expanded porphyrin-based diagnostic and therapeutic agents

AU Mody, Tarak D.; ***Sessler, Jonathan L.***

CS Pharmacyclics Inc., Sunnyvale, CA, 94086, USA

SO Perspectives in Supramolecular Chemistry (1999), 4(Supramolecular Materials and Technologies), 245-294 CODEN: PSCHFN; ISSN: 1521-1525

PB John Wiley & Sons Ltd.

DT Journal; General Review

LA English

AB A review with 321 refs. on texaphyrins as tumor-selective MRI enhancing agents and photodynamic and X-ray ***radiation*** therapy sensitizers.

RE.CNT 324 THERE ARE 324 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 27 CA COPYRIGHT 2002 ACS

AN 132:148540 CA

TI In vivo animal studies with gadolinium(III) texaphyrin as a ***radiation*** enhancer

AU Miller, R. A.; Woodburn, K.; Fan, Q.; Renschler, M. F.; ***Sessler, J.***

*** L.***; Koutcher, J. A.

CS Pharmacyclics, Inc., Sunnyvale, CA, USA

SO International Journal of Radiation Oncology, Biology, Physics (1999), 45(4), 981-989

CODEN: IOBPD3; ISSN: 0360-3016

PB Elsevier Science Inc.

DT Journal

LA English

AB Gd texaphyrin (Gd-Tex, PCI-0120) is an expanded porphyrin that has demonstrated ***radiation*** enhancement. In this study, the authors evaluated the ***radiation*** enhancement and biolocalization of

Gd-Tex in 3 animal tumor models. Methods and. EMT6, SMT-F, and MCa tumors were established i.m. or s.c. Gd-Tex and other metallotexaphyrins were administered prior to single or multiple fractions of ***radiation***. 14C-labeled Gd-Tex was used for biolocalization studies. Gd-Tex, in combination with ***radiation****, produced significant tumor growth delay compared to irradiated control groups in both single and multifraction ***radiation*** studies. Gd-Tex ***radiation*** enhancement was obsd. only when the drug was given before, but not after irradn. Several metallotexaphyrins, identical except for the metal ion, were studied in the EMT6 tumor model including Gd, Lu, Eu, Y, and Cd texaphyrin complexes. Only Gd-Tex produced ***radiation*** enhancement. Biodistribution studies using 14C-labeled Gd-Tex demonstrated drug selectivity and retention in tumors growing i.m. compared to uninvolved muscle and plasma. Gd-Tex produces reproducible ***radiation*** enhancement in a variety of in vivo tumor models. This drug's unique radiochem., tumor selectivity, and in vivo activity suggests possible mechanisms of action not addressed by in vitro assay methods.

RE.CNT 37 THERE ARE 37 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 27 CA COPYRIGHT 2002 ACS

AN 132:29966 CA

TI Texaphyrin-chemotherapeutic conjugates and their pharmaceutical formulations for chemotherapy, ***radiation*** sensitization, photodynamic therapy, sonodynamic therapy, and as antiatherosclerotics

IN ***Sessler, Jonathan L.***; Magda, Darren; Mody, Tarak; Anzenbacher, Pavel; Carvalho, Joan

PA Board of Regents, the University of Texas System, USA; Pharmacyclics, Inc.

SO PCT Int. Appl., 88 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI WO 9962551 A1 19991209 WO 1999-US12614 19990604
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TI, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,

ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG AU 9942321 A1 19991220 AU 1999-42321 19990604 A1 20010314 EP 1999-926172 19990604 EP 1082138 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI US 6207660 US 1999-325890 19990604 B1 20010327 JP 2002516878 T2 20020611 JP 2000-551806 19990604 NO 2000-6155 20001204 NO 2000006155 A 20010202 PRAI US 1998-88214P P 19980605 19990604 WO 1999-US12614 W OS MARPAT 132:29966

AB Provided are texaphyrin-chemotherapeutic drug conjugates, optionally including a Pt(II) or Pt(IV) metal chelating site and/or complex, which are useful for treating atheroma, tumors and other neoplastic tissue, neovascular-related diseases, as well as other conditions that are typically responsive to chemotherapy, ***radiation*** sensitization, photodynamic therapy, and sonodynamic therapy. Preferred chemotherapeutic agents may be selected from a taxoid, a nucleotide, an antibiotic, or a platinum coordination complex, or more specifically, selected from bleomycin, doxorubicin, taxol, taxotere, etoposide, 4hydroxycyclophosphamide, 5-fluorocil, cisplatin, or cisplatin analogs. The texaphyrin-chemotherapeutic agents are represented by formulas Iz+ or II (Z = 0.5, M = H, di- or trivalent metal cation, R1-R4 and R6-R9 = H, halo (but not iodo), OH, alkyl, alkenyl, aryl, catalytic group, chemotherapeutic agent, Pt chelating site, etc., R5 and R10-R12 = H, alkyl, alkenyl, aryl, halo (but not iodo), hydroxyalkyl, etc., with provisos concerning their steric size relative to other R groups) their pharmaceutical salts and formulations (1 example). Example conjugates show cytotoxic activity.

RE.CNT 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 27 CA COPYRIGHT 2002 ACS

AN 131:294770 CA

TI Texaphyrins having pendants containing imidazole as ***radiation*** sensitizers

IN ***Sessler, Jonathan L.***; Hemmi, Gregory W.; Mody, Tarak D.; Magda, Darren; Kral, Vladimir A.

PA Board of Regents, the University of Texas System, USA; Pharmacyclics, Inc.

SO U.S., 46 pp., Cont.-in-part of U. S. Ser. No. 437,968.

CODEN: USXXAM

DT Patent

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LA English
FAN.CNT 21
  PATENT NO.
                  KIND DATE
                                    APPLICATION NO. DATE
                  A 19991019
                                  US 1997-775261 19970204
PI US 5969111
  US 5559207
                 A 19960924
                                 US 1994-227370 19940414
                  A2 19941222
                                  WO 1994-US6284 19940609
  WO 9429316
                  A3 19950202
  WO 9429316
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      HU, JP, KG, KP, KR, KZ, LK, LU, LV, MD, MG, MN, MW, NL, NO, NZ,
      PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, US, UZ, VN
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       BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG
                                  WO 1994-US11491 19941012
  WO 9510307
                  A1 19950420
    W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB,
       GE, HU, JP, KE, KG, KP, KR, KZ, LK, LT, LU, LV, MD, MG, MN, MW,
      NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, US, UZ, VN
    RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU,
      MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN,
       TD, TG
                 A 19970422
                                 US 1995-437968 19950510
  US 5622946
PRAI US 1994-227370 A2 19940414
  WO 1994-US6284 A1 19940609
  WO 1994-US11491 A1 19941012
  US 1995-437968 A2 19950510
  US 1995-452261
                  B2 19950526
  US 1989-320293
                   A3 19890306
  US 1990-539975
                   A2 19900618
  US 1991-771393
                   B2 19910930
  US 1992-822964
                   A2 19920121
  US 1993-75123
                  B2 19930609
  US 1993-135118 A 19931012
OS MARPAT 131:294770
AB I (where each R1, R2, R3, R4, R7 and R8 is independently H, OH, alkyl,
  hydroxyalkyl, oxyalkyl, oxyhydroxyalkyl, saccharide, oxyaminoalkyl,
  carboxy, carboxyalkyl, carboxyamidealkyl, a site-directing mol., imidazole
  or a couple to a site-directing mol. or to imidazole) as their transition
  metal and rare earth complexes are claimed and can be used as
   ***radiation*** sensitizers for human carcinoma cells. For example, the
  Gd and Lu complexes of I (R1 = CH2CH2CH2OH, R2 = R3 = Et, R4 = Me, R7 = R8
  = OCH2HC2OCH2CH2OCH2CH2OMe) were prepd. and the ***radiation***
  sensitization of HT-29 cells by these complexes was studied.
RE.CNT 177 THERE ARE 177 CITED REFERENCES AVAILABLE FOR THIS RECORD
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ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 12 OF 27 CA COPYRIGHT 2002 ACS

AN 131:222611 CA

TI Preparation of highly boronated derivatives of expanded porphyrins (texaphyrins) for potential use in boron neutron capture therapy and related applications

IN ***Sessler, Jonathan L.***; Allen, William E.; Kral, Vladimir A.

PA USA

SO U.S., 16 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DATE

PI US 5955586 A 19990921 US 1997-821272 19970320

PRAI US 1996-13872P P 19960322

OS MARPAT 131:222611

AB The present invention is directed to highly boronated derivs. of expanded porphyrins, and more particularly to expanded porphyrins substituted with carborane clusters, e.g., gadolinium texaphyrin o-carborane deriv. I. Highly boronated texaphyrin derivs. are claimed, and discussions include highly boronated sapphyrin derivs. as well. The carborane-substituted texaphyrins may be metalated with Y(III), Lu(III), Gd(III), Eu(III), Dy(III), and Tb(III). Such compns. are potentially useful in boron neutron capture therapy, ***radiation*** therapy, photodynamic therapy, and other applications.

RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 27 CA COPYRIGHT 2002 ACS

AN 130:264132 CA

TI ***Radiation*** sensitization using texaphyrins

IN ***Sessler, Jonathan L.***; Harriman, Anthony; Miller, Richard A.; Magda, Darren; Mody, Tarak D.; Hemmi, Gregory W.

PA Pharmacyclics, Inc., USA; Board of Regents, the University of Texas System

SO U.S., 43 pp., Cont.-in-part of U.S. 5,622,946.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 21

PATENT NO. KIND DATE APPLICATION NO. DATE

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A 19990330
                                  US 1997-795393 19970204
PI US 5888997
                 A 19960924
                                 US 1994-227370 19940414
  US 5559207
  US 5622946 A 19970422 US 1995-437968 19950510
                        A 20000606
                                       US 1998-104870 19980625
         US 6072038
PRAI US 1994-227370 A2 19940414
  US 1995-437968 A2 19950510
  US 1995-452261 B2 19950526
  US 1989-320293 A3 19890306
  US 1990-539975 A2 19900618
  US 1991-771393 B2 19910930
  US 1992-822964 A2 19920121
  US 1993-75123 B2 19930609
  US 1993-135118 A2 19931012
  US 1995-227370 A2 19940414
  WO 1994-US6284 A1 19940609
  WO 1994-US11491 A1 19941012
  US 1997-795393 A1 19970204
OS MARPAT 130:264132
AB The invention relates to the field of ***radiation*** sensitizers and
  the use of texaphyrins for ***radiation*** sensitization and other
  conditions for which X-ray ***radiation*** has proven to be
  therapeutic.
RE.CNT 65 THERE ARE 65 CITED REFERENCES AVAILABLE FOR THIS RECORD
       ALL CITATIONS AVAILABLE IN THE RE FORMAT
L3 ANSWER 14 OF 27 CA COPYRIGHT 2002 ACS
AN 130:244277 CA
TI One-Electron Reduction and Oxidation Studies of the ***Radiation***
  Sensitizer Gadolinium(III) Texaphyrin (PCI-0120) and Other Water Soluble
  Metallotexaphyrins
     ***Sessler, Jonathan L.***; Tvermoes, Nicolai A.; Guldi, Dirk M.;
  Mody, Tarak D.; Allen, William E.
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  Austin, TX, 78712, USA
SO Journal of Physical Chemistry A (1999), 103(7), 787-794
  CODEN: JPCAFH; ISSN: 1089-5639
PB American Chemical Society
DT Journal
LA English
AB The ***radiation*** sensitizer gadolinium(III) texaphyrin (XYTRIN;
  PCI-0120; Gd-Tex2+) and several other water sol. metallotexaphyrin
  complexes were prepd. and studied using pulse radiolysis. All of the
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metallotexaphyrins were found to react with solvated electrons and hydroxyl radicals, yielding the corresponding one-electron reduced and oxidized metallotexaphyrins, resp. The rates of the redn. processes range from 3.7 .times. 1010 to 6.8 .times. 1010 M-1-s-1 (.+-.10%), while those involving oxidn. range from 2.5 .times. 109 to 7.4 .times. 109 M-1-s-1 (.+-.10%). The spectral characteristics of the transformed metallotexaphyrins produced by these reactions, e.g., a broad absorption band with a .lambda.max centered around 830 nm, are consistent with ligand-centered redox processes. Reaction of the metallotexaphyrins with solvated electrons affords species which exhibit metal dependent behavior. In the absence of hydroxyl radicals, the decay of the reduced metallotexaphyrins produced by reaction with electrons involves an initial protonation event followed by either a dimerization process or a disproportionation step. These latter transformations are followed by a second protonation event.

RE.CNT 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 15 OF 27 CA COPYRIGHT 2002 ACS

AN 126:327558 CA

TI ***Radiation*** sensitization using texaphyrins for treatment of neoplasms or atheromas

IN ***Sessler, Jonathan L.***; Harriman, Anthony M.; Miller, Richard A.

PA Pharmacyclics, Inc., USA; Board of Regents, Univ. of Tex. Sys.

SO U.S., 39 pp., Cont.-in-part of U.S. 5,457,183.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 21

110.0111 21				
PATENT NO.	KIND DATE		APPLICATION NO. DATE	
PI US 5622946	Α	19970422	US 1995-437968	19950510
US 5457183	A	19951010	US 1993-135118	19931012
US 5583220	Α	19961210	US 1995-449681	19950524
US 5580543	Α	19961203	US 1995-458267	19950602
US 5587371	Α	19961224	US 1995-458909	19950602
US 5632970	Α	19970527	US 1995-486967	19950607
US 5801229	Α	19980901	US 1996-713701	19960913
US 5888997	Α	19990330	US 1997-795393	19970204
US 5969111	Α	19991019	US 1997-775261	19970204
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US 6072038	Α	20000606	US 1998-104870	19980625
PRALUS 1993-135118 A2 19931012				

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               A2 19900618
US 1990-539975
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               B2 19910930
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              B2 19930609
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              A1 19930728
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               B2 19950526
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US 1996-713701
               A1 19960913
US 1997-795393
              A1 19970204
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OS MARPAT 126:327558

AB Texaphyrins are provided for use as ***radiation*** sensitizers.

Advantageous properties of texaphyrins for use as a ***radiation*** sensitizer include: (1) a low redox potential, which allows

radiation -induced hydrated electrons to flow to texaphyrin rather than neutralizing hydroxyl radicals, allowing hydroxyl radicals to cause cellular damage; (2) a relatively stable texaphyrin radical that reacts readily to covalently modify neighboring mols., causing further cellular damage; (3) intrinsic biolocalization; and (4) indifference to the presence or absence of O2. These properties allow texaphyrins to be particularly effective for treating the hypoxic areas of solid neoplasms. Methods of treatment for an individual having a neoplasm or atheroma include the use of a texaphyrin as a ***radiation*** sensitizer and as an agent for photodynamic tumor therapy, or the use of a texaphyrin for internal and for external ionizing ***radiation***. Novel texaphyrins are provided.

L3 ANSWER 16 OF 27 CA COPYRIGHT 2002 ACS AN 126:199378 CA

- TI Solution phase and single-crystal diffraction x-ray analyses of diprotonated porphyrin isomers etioporphyrin, etioporphycene, and etiocorrphycene bishydroperchlorate salts
- AU ***Sessler, Jonathan L.***; Brucker, Eric A.; Lynch, Vincent; Choe, Michael; Sorey, Steven; Vogel, Emanuel
- CS Dep.of Chemistry and Biochemistry, The University of Texas at Austin, Austin, TX, 78712, USA
- SO Chemistry--A European Journal (1996), 2(12), 1527-1532 Published in:

Angew. Chem., Int. Ed. Engl., 35(23/24) CODEN: CEUJED; ISSN: 0947-6539

PB VCH DT Journal LA English

AB The diprotonated, bishydroperchlorate forms of three isomeric .beta.-octaalkyl-substituted tetrapyrrolic macrocycles, namely, etioporphyrin II (I), etioporphycene (II), and etiocorrphycene (III), have been characterized both in chloroform soln., by UV/visible spectroscopy and 1H and proton-correlated 2D 15N NMR methods, and in the solid state, by single-crystal x-ray diffraction analyses. In the solid state, in marked contradistinction to what is obsd. for the corresponding free-base forms, the macrocyclic portion of these salts were found to be distorted significantly from planarity with the two perchlorate counteranions being held above and below the av. N4 plane by N-H.cntdot..cntdot..cntdot.O hydrogen bonds in all three cases. In soln., 1H and proton-correlated 2D 15N NMR expts. reveal mol. ions of relatively high symmetry [D2h, D2h, and C2v in the case of I.cntdot.(HClO4)2, II.cntdot.(HClO4)2, and III.cntdot.(HClO4)2, resp.] as would by anticipated on the basis of the solid-state results. These same NMR analyses, while revealing slight differences between the three salts in the NH and meso 1H NMR spectral regions, also serve to confirm the generalized congeneric nature of I.cntdot.(HClO4)2, II.cntdot.(HClO4)2, and III.cntdot.(HClO4)2 and support the assignment of the latter two species as being porphyrin-like salts. UV/vis analyses further support this conclusion; in all three instances, strong Soret- and Q-like transitions are obsd. in dichloromethane that are both distinct from each other (.lambda.max = 404, 549, 570, 593; 388, 409, 599, 666; and 419, 559, 604 for I.cntdot.(HClO4)2, II.cntdot.(HClO4)2, and III.cntdot.(HClO4)2, resp.) and from those of the corresponding free-base forms (.lambda.max = 396, 496, 530, 565, 619; 382, 570, 617, 657; and 410, 509, 539, 574, 628 for I, II, and III resp.). Protonation expts. were carried out by exposing dichloromethane solns. of the isomers to aq. perchlorate/perchloric acid solns. of differing pH. These studies reveal that while porphycene II adds two protons readily and concurrently, becoming 50% diprotonated when exposed to perchlorate/perchloric solns. with a pH of around 3.6, porphyrin I and corrphycene III are protonated in a stepwise manner; they become 50% monoprotonated when exposed to perchlorate/perchloric solns. of pH .apprxeq. 3.7 and 3.9, resp., and diprotonated at pH .ltoreq. 0.8 and 1.3, resp.

L3 ANSWER 17 OF 27 CA COPYRIGHT 2002 ACS AN 126:165788 CA

TI Texaphyrin metal complexes having improved functionalization

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***Sessler, Jonathan L.***; Mody, Tarak D.; Hemmi, Gregory W.
PA University of Texas, USA; Pharmacyclics, Inc.
SO U.S., 32 pp., Cont.-in-part of U.S. Ser. No. 98, 514.
  CODEN: USXXAM
DT Patent
LA English
FAN.CNT 21
  PATENT NO.
                                   APPLICATION NO. DATE
                  KIND DATE
                                 US 1994-196964 19940215
PI US 5599923
                 A 19970204
                A 19900619
                                US 1989-320293 19890306
  US 4935498
  US 5162509
                 A 19921110
                                US 1990-539975 19900618
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                A 19951010
                                 CA 1995-2182960 19950215
  CA 2182960
                 AA 19950817
                                 WO 1995-US1996 19950215
  WO 9521845
                 A1 19950817
    W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI,
      GB, GE, HU, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG,
      MN, MW, MX, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT,
      UA, UG
    RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT,
      LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE,
      SN, TD, TG
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                 A1 19950829
                                 AU 1995-19217 19950215
  AU 688008
                B2 19980305
  EP 745085
                A1 19961204
                                EP 1995-911776 19950215
  EP 745085
                B1 20020522
    R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
  JP 10500659
                T2 19980120
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  US 5599928
                A 19970204
                                US 1995-459333 19950602
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PRAI US 1989-320293
                    A3 19890306
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  US 1993-98514
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  US 1993-75123
                  B2 19930609
  US 1994-196964 A 19940215
  WO 1995-US1996 W
                       19950215
OS MARPAT 126:165788
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AB Texaphyrin metal complexes I [M = H, divalent, trivalent cation; R1-R4,R6-R9 = H, halide, (un)substituted alkyl, aryl, NO2, acyl, (un)substituted CO2H, sapphyrin, linker-bonded sapphyrin; R5, R10-R12 = H, (un)substituted alkyl, aryl; n = .ltoreq.5], having improved functionalization including electron donating groups at positions 12, 15, 18 and/or 21 and/or the electron withdrawing groups at positions 15 or 18 are claimed. Electron donating groups contribute electrons to the arom. .pi. system of the macrocycle which stabilizes the metal complex to demetalation and the imine bonds to hydrolysis, making these texaphyrin metal complexes useful for localization, magnetic resonance imaging, radiosensitization, ***radiation*** therapy, fluorescence imaging, photodynamic tumor therapy and applications requiring singlet oxygen prodn. for cytotoxicity. Electron withdrawing groups at positions 15 or 18 render the macrocycle more readily reduced, i.e. the redox potential is lower and the macrocycle more readily gains an electron to form a radical. Such texaphyrins having a low redox potential are useful for radiosensitization applications. The prepn. of an intermediate bis(pyrrolylmethyl)pyrrole is reported.

L3 ANSWER 18 OF 27 CA COPYRIGHT 2002 ACS

AN 126:154555 CA

TI Texaphyrin complexes having improved functionalization

IN Hemmi, Gregory W.; ***Sessler, Jonathan L.***; Mody, Tarak D.

PA Pharmacyclics, Inc., USA; Board of Regents, the University of Texas System

SO U.S., 30 pp., Cont. of U.S. Ser. No. 459,333.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 21

PATENT NO. KIND DATE APPLICATION NO. DATE

PI US 5591422 A 19970107 US 1995-468209 19950606 US 5599928 A 19970204 US 1995-459333 19950602

PRAI US 1995-459333 A1 19950602

US 1994-196964 A2 19940215

AB Texaphyrin metal complexes having improved functionalization include the addn. of electron-donating groups to positions 2, 7, 12, 15, 18 and/or 21 and/or the addn. of electron-withdrawing groups to positions 15 and/or 18 of the macrocycle. Electron-donating groups at positions 2, 7, 12, 15, 18 and/or 21 contribute electrons to the arom. pi. system of the macrocycle which stabilizes the metal complex to demetallation and the imine bonds to hydrolysis. These texaphyrin metal complexes having enhanced stability are useful for localization, radiosensitization and ***radiation*** therapy. Electron-withdrawing groups at positions 15 and/or 18 render the